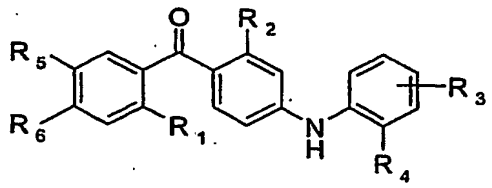
PCT/DK2004/000490

new claim 1 submitted with letter of 20/05/2005 (with amendments indicated)

1. A compound of general formula I



I

wherein

R_1 is halogen, hydroxy, mercapto, trifluoromethyl, amino, C_{1-4}alkyl, C_{2-4}alkenyl, C_{2-4}alkynyl, C_{1-4}alkoxy, C_{1-4} alkylthio, C_{1-6}alkylamino, C_{1-4}alkoxycarbonyl, cyano, -$CONH_2$ or nitro;

R_2 is hydrogen, halogen, hydroxy, mercapto, trifluoromethyl, amino, C_{1-4}alkyl, C_{2-4}alkenyl, C_{2-4}alkynyl, C_{1-4}alkoxy, C_{1-4}alkylthio, C_{1-6}alkylamino, C_{1-4}alkoxycarbonyl, cyano, -$CONH_2$, phenyl or nitro;

R_3 represents one or more, same or different substituents selected from the group consisting of hydrogen, halogen, hydroxy, mercapto, trifluoromethyl, cyano, carboxy, $CONH_2$, nitro, C_{1-4}alkyl, C_{2-4}alkenyl, C_{2-4}alkynyl, C_{1-4}alkoxy, C_{1-4}alkylthio, C_{1-4} alkoxycarbonyl;

R_4 is hydrogen, halogen, nitro, R_8 or Y_1R_8;

Y_1 is -O-, -S-, -S(O)-, -$S(O)_2$-, -NR_a-, -$NR_aC(O)NR_b$-, -$NR_aC(O)$-, -$C(O)NR_a$-, -$C(O)NR_aO$-, -C(O)-, -C(O)O-, -$NR_aC(O)O$-, -$S(O)_2NR_a$-, -$NR_aS(O)_2$-;

R_a, R_b and R_c are the same or different, each representing hydrogen, C_{1-4}alkyl, C_{2-4}alkenyl, C_{2-4} alkynyl, C_{3-8}carbocyclyl, C_{1-12}heterocyclyl or aryl, each of C_{1-4}alkyl, C_{2-4}alkenyl, C_{2-4} alkynyl, C_{3-8}carbocyclyl, C_{1-12}heterocyclyl or aryl being optionally substituted by one or more, same or different substituents represented by R_7;

R_8 is hydrogen, C_{1-10}alkyl-C_{1-12}heterocyclyl, C_{1-10}alkyl-C_{3-12}carbocyclyl, C_{1-10}alkyl, C_{2-10}alkenyl, C_{2-10} alkynyl, C_{3-12}carbocyclyl or C_{1-12}heterocyclyl, each of C_{1-10}alkyl-C_{1-12}heterocyclyl, C_{1-10}alkyl-C_{3-12}carbocyclyl, C_{1-10}alkyl, C_{2-10}alkenyl, C_{2-10} alkynyl,

C_{3-12}carbocyclyl or C_{1-12}heterocyclyl being optionally substituted by one or more, same or different substituents represented by R_7;
R_7 is halogen, hydroxy, mercapto, trifluoromethyl, amino, C_{1-4}alkyl, C_{1-6}hydroxyalkyl, C_{1-4}alkoxy, C_{1-4}alkylthio, C_{1-6} alkylamino, C_{1-4}alkoxycarbonyl, C_{1-9} trialkylammonium in association with an anion, cyano, azido, nitro, $-S(O)_2NH_2$, $-S(O)_2NR_aR_b$, $-S(O)_2R$, -COOH, $-CONH_2$, $-NR_aC(O)R'$, -CONHR' or -CONRR', wherein R and R' are same or different, each representing hydrogen or C_{1-3}alkyl;

one of R_5 and R_6 is –COOH, $-C(O)NHOH$, $-C(O)NHNH_2$, Y_2R_9, $Y_2R_9Y_3R_{10}$, C_{1-6}alkyl-Y_2R_9, C_{1-6}alkyl-$Y_2R_9Y_3R_{10}$, C_{2-6}alkenyl-Y_2R_9, C_{2-6}alkenyl-$Y_2R_9Y_3R_{10}$, Y_2R_9–C_{1-6}-alkyl-Y_3R_{10}, Y_2R_9–C_{2-6}-alkenyl-Y_3R_{10}, C_{3-12}carbocyclyl-Y_2R_9, C_{3-12}carbocyclyl-$Y_2R_9Y_3R_{10}$, C_{1-12}heterocyclyl-Y_2R_9, C_{1-12}heterocyclyl-$Y_2R_9Y_3R_{10}$, C_{3-12}carbocyclyl-C_{1-6}-alkyl-Y_2R_9, C_{3-12}carbocyclyl-C_{1-6}-alkyl-$Y_2R_9Y_3R_{10}$, C_{1-12}heterocyclyl-C_{1-6}-alkyl-Y_2R_9, C_{1-12}heterocyclyl-C_{1-6}-alkyl-$Y_2R_9Y_3R_{10}$, C_{3-12}carbocyclyl–C_{1-6}-alkyl-Y_3R_{10}, C_{1-12}heterocyclyl–C_{1-6}-alkyl-Y_3R_{10}, C_{1-12}heterocyclyl-C_{1-10}alkyl, C_{3-12}carbocyclyl-C_{1-10}alkyl, C_{1-10}alkyl-C_{1-12}heterocyclyl, C_{1-10}alkyl-C_{3-12}carbocyclyl, C_{1-10}alkyl, C_{2-10}alkenyl, C_{2-10} alkynyl, C_{3-12}carbocyclyl or C_{1-12}heterocyclyl, each of which being optionally substituted by one or more, same or different substituents represented by R_7, and the other is hydrogen, halogen, hydroxy, mercapto, trifluoromethyl, amino, C_{1-4}alkyl, C_{2-4}alkenyl, C_{2-4}alkynyl, C_{1-4}alkoxy, C_{1-4} alkylthio, C_{1-6}alkylamino, C_{1-4}alkoxycarbonyl, cyano, $-CONH_2$ or nitro,

with the proviso that when R_5 or R_6 is phenyl, C_{1-5}alkyl or C_{2-3}alkenyl, said R_5 or R_6 is substituted by one or more, same or different substituents represented by R_7 (except three fluorine when R_5 or R_6 is methyl) [illegible],

with the further proviso that when R_5 or R_6 is –COOH, Y_1 cannot be $-NR_a-$, $-NR_aC(O)NR_b-$, $-NR_aC(O)-$ or $-NR_aC(O)O-$, and R_3 or R_4 cannot be nitro,

with the further proviso that when R_2 is hydrogen, one of R_5 or R_6 is not hydrogen or optionally substituted (C_3-C_{18} heterocyclyl, C_{1-7}alkyl, C_{2-7}alkenyl, C_{2-7}alkynyl or C_{1-7}alkoxy);

Y_2 is -O-, -S-, -S(O)-, $-S(O)_2-$, $-NR_a-$, $-NR_aC(O)NR_b-$, $-NR_aC(O)-$, $-C(O)NR_a-$, $-C(O)NR_aO-$, -C(O)-, $-NR_aC(O)O-$, $-NR_aS(O)_2-$, -OC(O)-, -C(O)O-, $-C(O)NR_aNR_bC(S)NR_c-$, $-C(O)NR_aNR_b-$, or $-S(O)_2NR_a-$;

R_9 is C_{1-10}alkyl-C_{1-12}heterocyclyl, C_{1-10}alkyl-C_{3-12}carbocyclyl, C_{1-10}alkyl, C_{2-10}alkenyl, C_{2-10} alkynyl, C_{3-12}carbocyclyl, C_{1-12}heterocyclyl, C_{3-12}carbocyclyl-C_{1-10}alkyl, or C_{1-12}heterocyclyl-C_{1-10}alkyl, C_{3-6}carbocyclyl-C_{1-6}alkenyl, C_{3-6}carbocyclyl-C_{2-6}alkynyl, each being optionally substituted by one or more, same or different substituents represented by R_7,

with the proviso that when Y_2 is –O-, -NR_a-, –S- or -C(O)O-, and R_9 is C_{1-6}alkyl, said C_{1-6}alkyl is substituted by one or more, same or different substituents represented by R_7 [illegible];

Y_3 is -O-, -S-, -S(O)-, -$S(O)_2$-, -NR_a-, -$NR_aC(O)NR_b$-, -$NR_aC(O)$-, -$C(O)NR_a$-; -$C(O)NR_aO$-, -C(O)-, -$NR_aC(O)O$-, -$NR_aS(O)_2$-, -OC(O)- or –C(O)O-;

R_{10} is C_{1-10}alkyl-C_{1-12}heterocyclyl, C_{1-10}alkyl-C_{3-12}carbocyclyl, C_{1-10}alkyl, C_{2-10}alkenyl, C_{2-10} alkynyl, C_{3-12}carbocyclyl or C_{1-12}heterocyclyl, each being optionally substituted by one or more, same or different substituents represented by R_7;

or, when one of R_5 or R_6 is the group –$C(O)NR_aR_9$, R_a and R_9 together with the nitrogen atom to which they are attached form a C_{1-12}heterocyclic ring optionally comprising one or more additional heteroatoms selected from the group consisting of O, S and N, optionally substituted with one or more substituents represented by R_7;

or a pharmaceutically acceptable salt, solvate, or ester thereof.